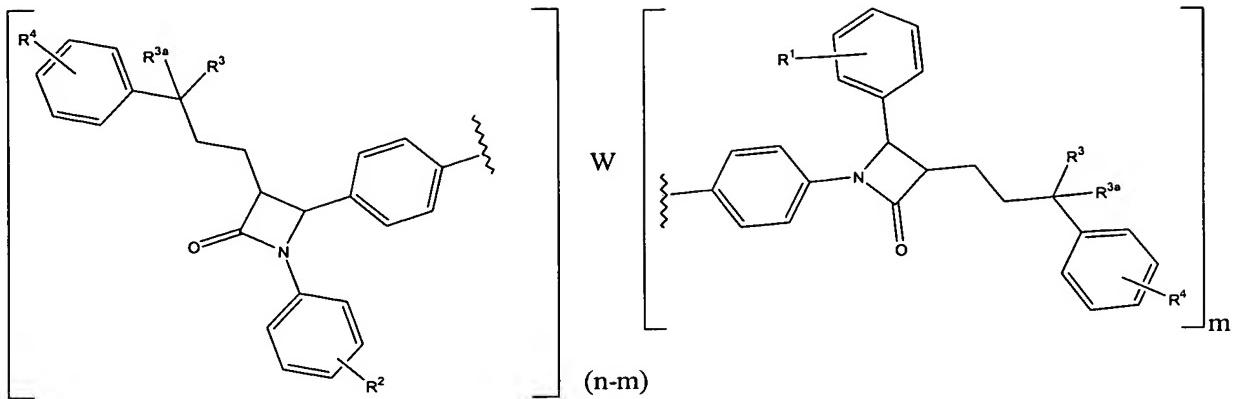


Claims:

1. A compound of formula



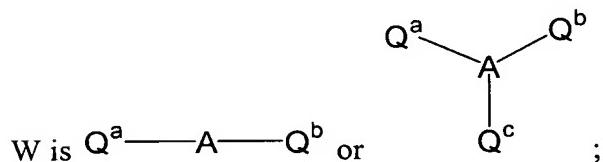
wherein

$R^1$  and  $R^2$  are chosen from H, halogen, -OH, loweralkyl, -O-loweralkyl, -CN, -S-loweralkyl, amino, lower alkylamino, alkylsulfonyl, arylsulfonyl, acyl, a sugar, a glucuronide and a sugar carbamate;

$R^3$  is chosen from H, -OH, fluoro and -O-loweralkyl;

$R^{3a}$  is chosen from H and fluoro, or  $R^{3a}$  and  $R^3$  together are =O;

$R^4$  is chosen from H, halogen, -OH, loweralkyl, -O-loweralkyl, -CN, -S-loweralkyl, amino, lower alkylamino, alkylsulfonyl, arylsulfonyl and acyl;



$Q^a$ ,  $Q^b$  and  $Q^c$  are independently chosen from a direct bond, -O-, -S-, -NH-, -CH<sub>2</sub>O-, -CH<sub>2</sub>NH-, -OCH<sub>2</sub>CONH-, -OCH<sub>2</sub>COO-, -C(=O)-, -CONH-, -NHCO-, -O(C=O)-,

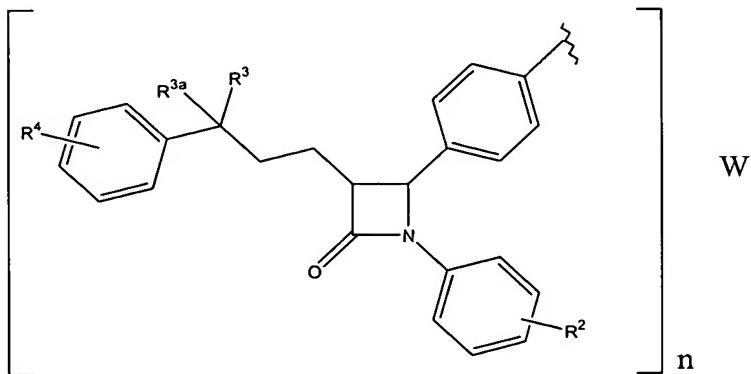
$-(C=O)O-$ ,  $-NHCONH-$ ,  $-OCONH-$  and  $-NHCOO-$ ;

n is 2 or 3;

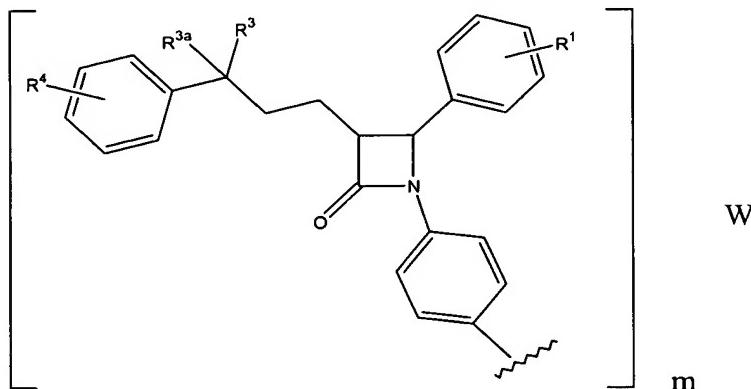
m is 0,1, 2 or 3 and m = n ; and

A has a valency of n and is chosen from C<sub>2</sub> to C<sub>20</sub> hydrocarbon, substituted alkyl of 2 to 20 carbons, perfluoroalkyl of 2 to 20 carbons, substituted aryl, polyaryl of 3 to 20 aryl groups, substituted arylalkyl, oxaalkyl of four to fifty carbons, azaalkyl of four to fifty carbons, thiaalkyl of four to fifty carbons, a residue of an oligopeptide of two to twenty amino acids, a residue of a monosaccharide or of a polysaccharide of 2 to 100 saccharide residues; and, when Q<sup>a</sup> and Q<sup>b</sup> are  $-O(C=O)-$  or  $-NHCO-$ , A may additionally be methylene.

2. A compound according to claim 1 wherein m = zero of formula:



3. A compound according to claim 1 wherein m=n of formula:



4. A compound according to any of claims 1-3 wherein n is 3 and W is trivalent.

5. A compound according to claim 4 wherein

$Q^a$ ,  $Q^b$  and  $Q^c$  are independently chosen from -O-, -CH<sub>2</sub>O-, -OCH<sub>2</sub>CONH-, -OCH<sub>2</sub>COO-, -(C=O)O-, and -NHCOO-; and

A is a polysaccharide of 2 to 20 saccharide residues, a branched oxaalkyl of four to fifty carbons or a monoazaalkyl of four to ten carbons.

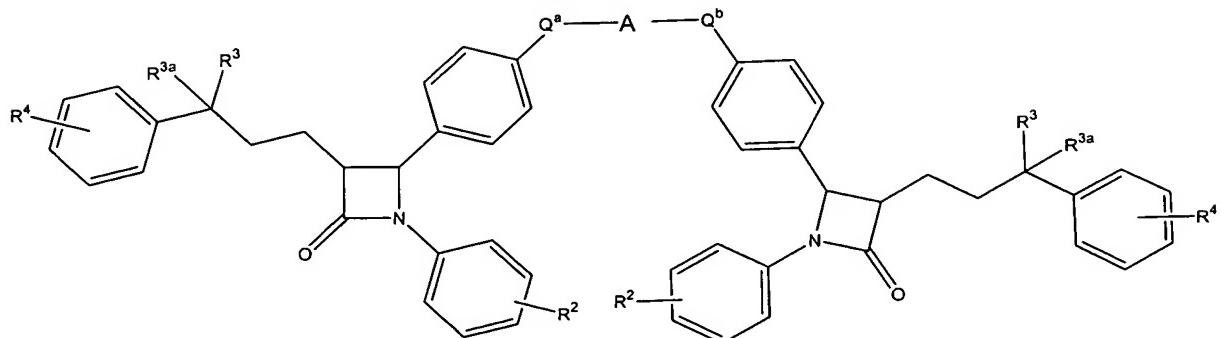
6. A compound according to claim 4 wherein

$Q^a$ ,  $Q^b$  and  $Q^c$  are independently chosen from -CH<sub>2</sub>O-, -CH<sub>2</sub>NH-, -OCH<sub>2</sub>CONH-, -OCH<sub>2</sub>COO-, -CONH-, -NHCO-, -O(C=O)-, -(C=O)O-, -NHCONH-, -OCONH- and -NHCOO-;

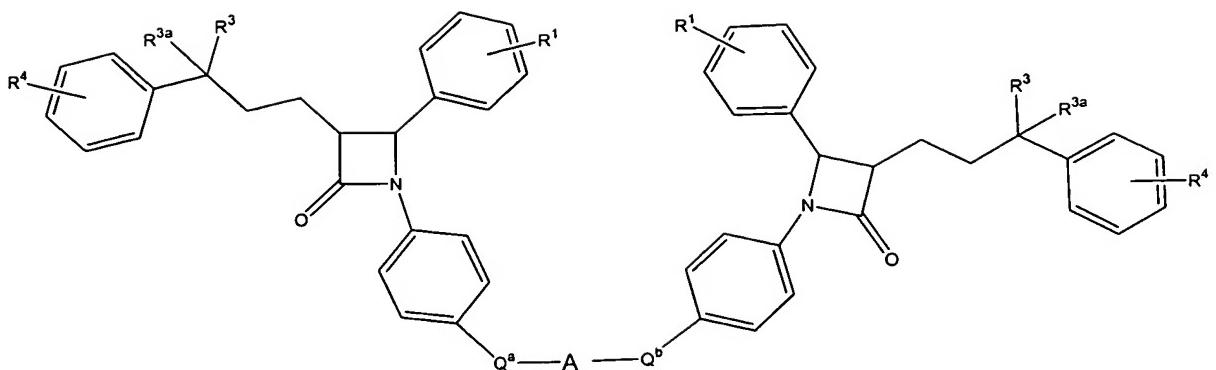
and

A is an oligopeptide.

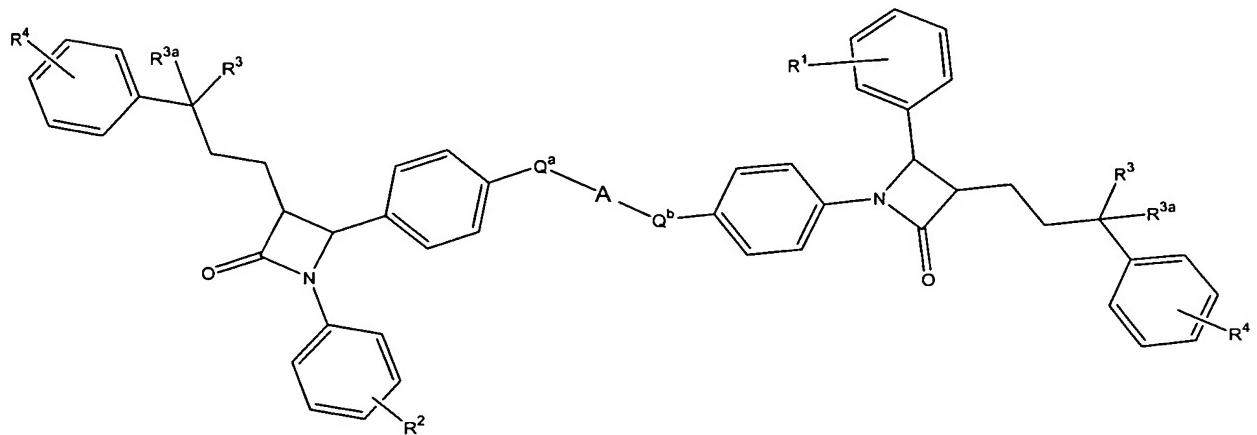
7. A compound according to any of claims 1-3 wherein n is 2 and W is divalent of formula:



,



or



8. A compound according to claim 7 wherein

$Q^a$  and  $Q^b$  are independently chosen from -O-, -CH<sub>2</sub>O-, -OCH<sub>2</sub>CONH-, -OCH<sub>2</sub>COO-, -(C=O)O-, and -NHCOO-; and

A is poly(oxyethylene) or a polysaccharide of 2 to 20 saccharide residues.

9. A compound according to claim 7 wherein

$Q^a$  and  $Q^b$  are independently chosen -CH<sub>2</sub>O-, -CH<sub>2</sub>NH-, -OCH<sub>2</sub>CONH-, -OCH<sub>2</sub>COO-, -CONH-, -NHCO-, -O(C=O)-, -(C=O)O-, -NHCONH-, -OCONH- and -NHCOO-; and

A is an oligopeptide.

10. A compound according to any of claims 1-9 wherein

$R^1$  and  $R^2$  are chosen from H, halogen, -OH, and methoxy;

$R^3$  is -OH; and

$R^4$  is fluoro.

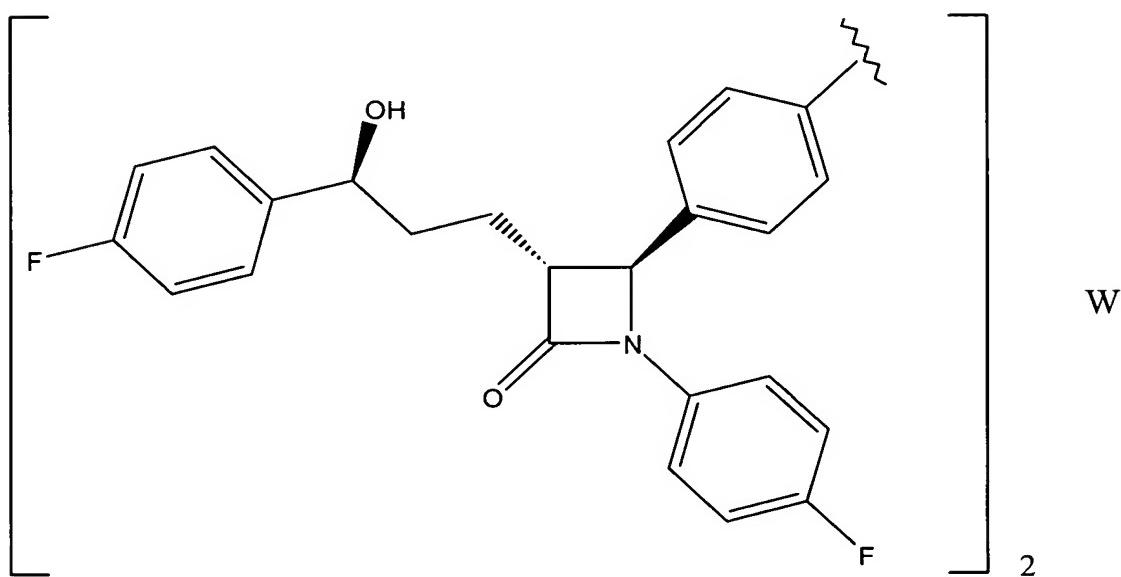
11. A compound according to any of claims 1-9 wherein

$R^1$  and  $R^2$  are chosen from a sugar, a glucuronide and a sugar carbamate;

$R^3$  is -OH; and

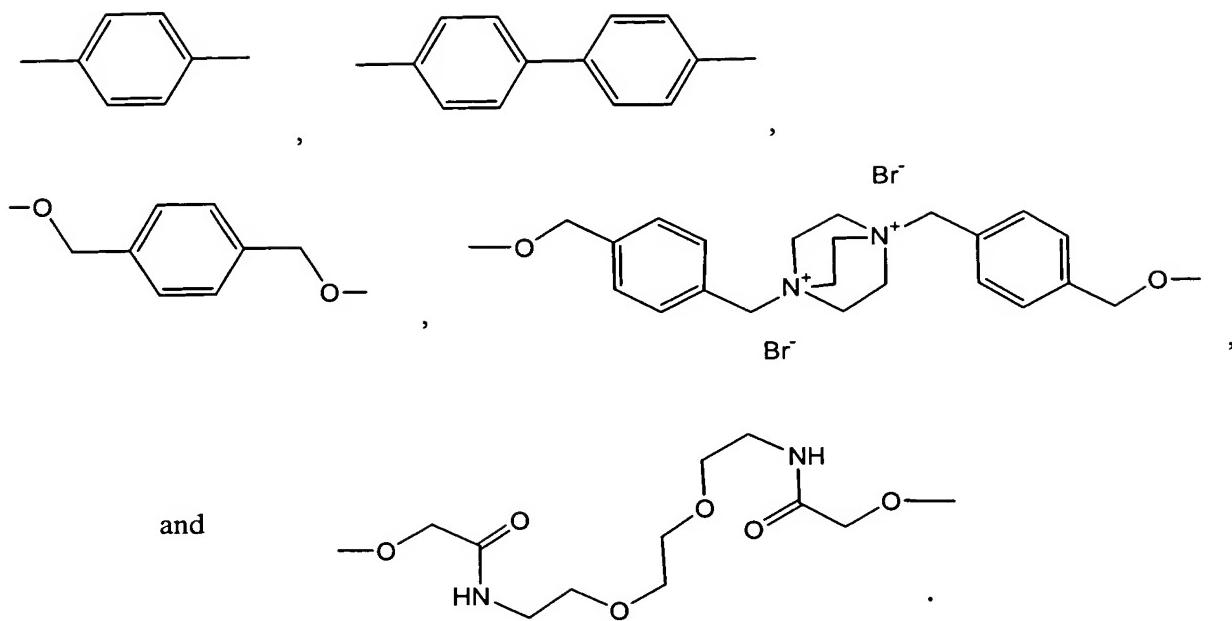
$R^4$  is fluoro.

12. A compound according to any of claims 1-10 of formula:

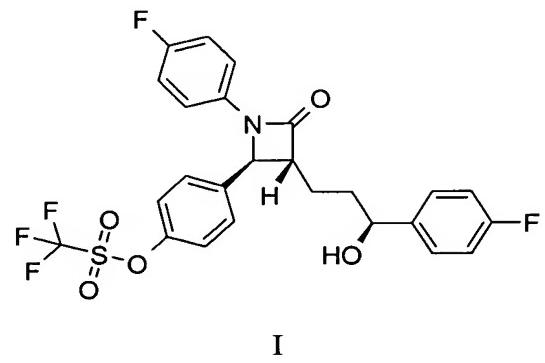


13. A compound according to claim 12 wherein W is chosen from

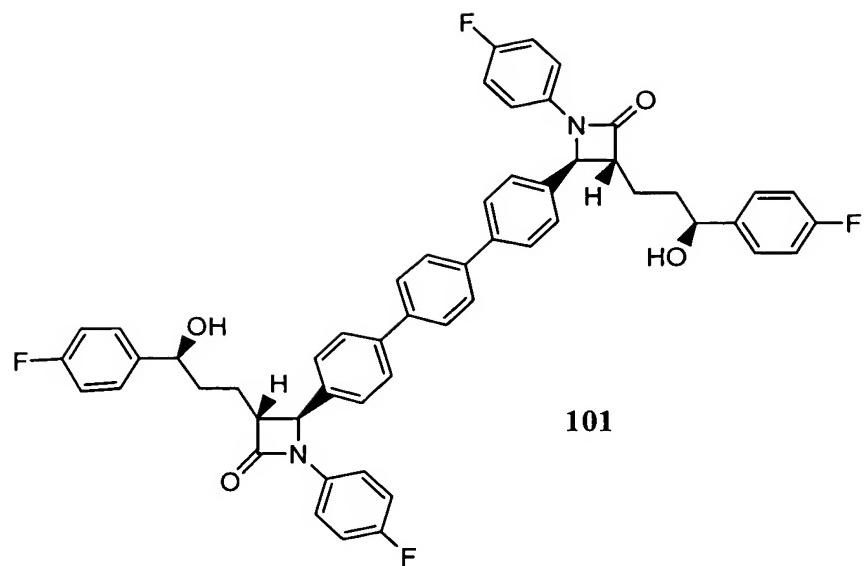
- $OCH_2CH=CHCH_2O-$ ,



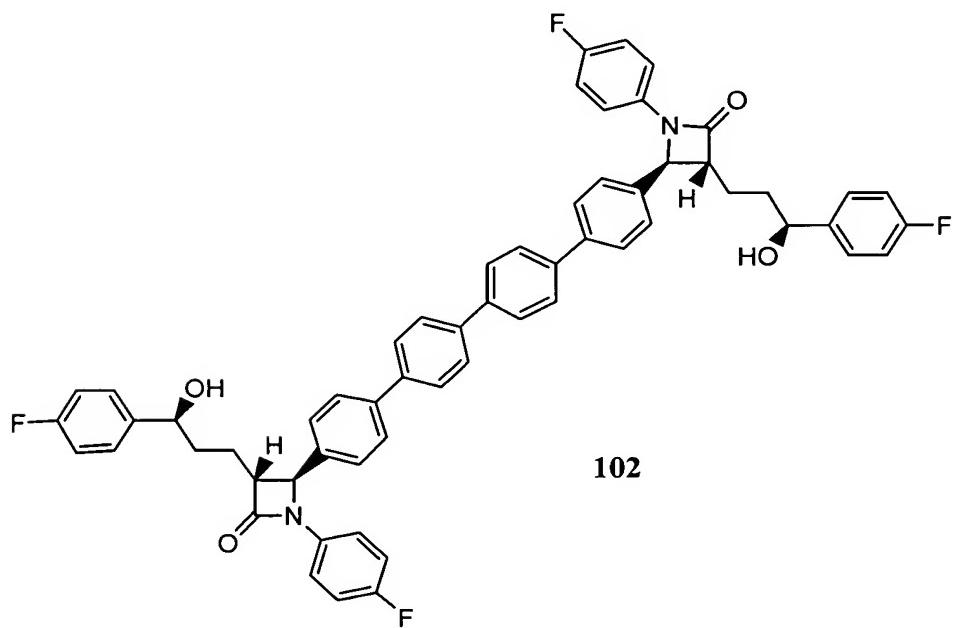
14. A compound according to claim 1 chosen from the group consisting of



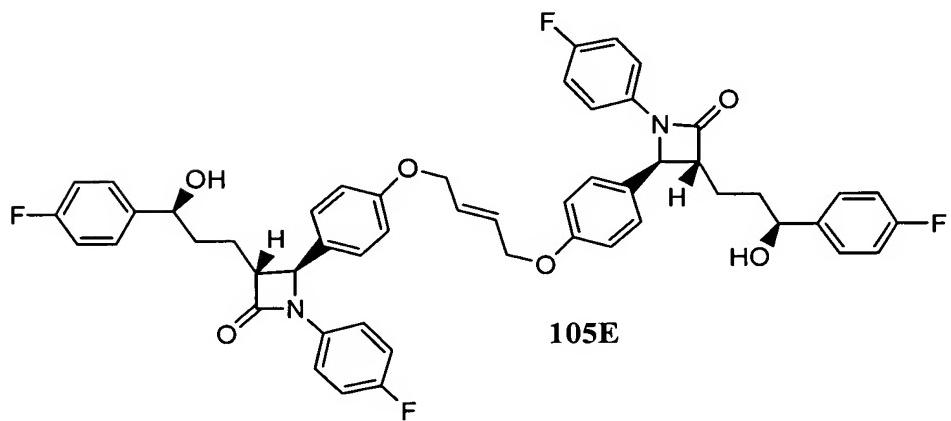
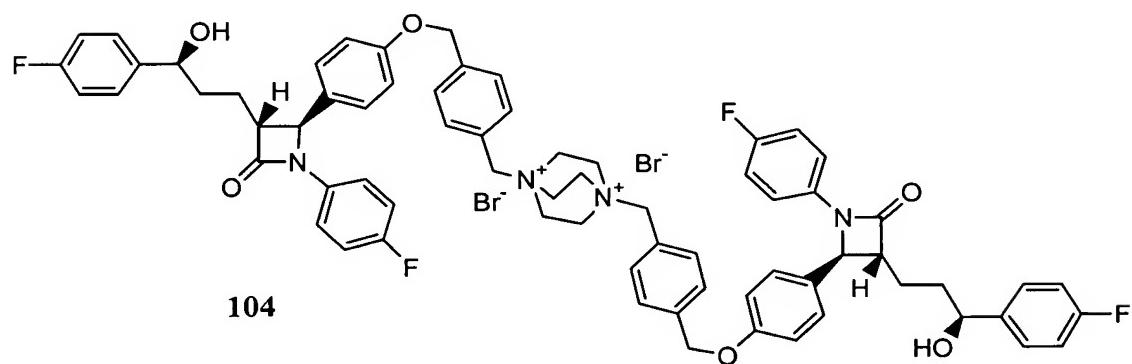
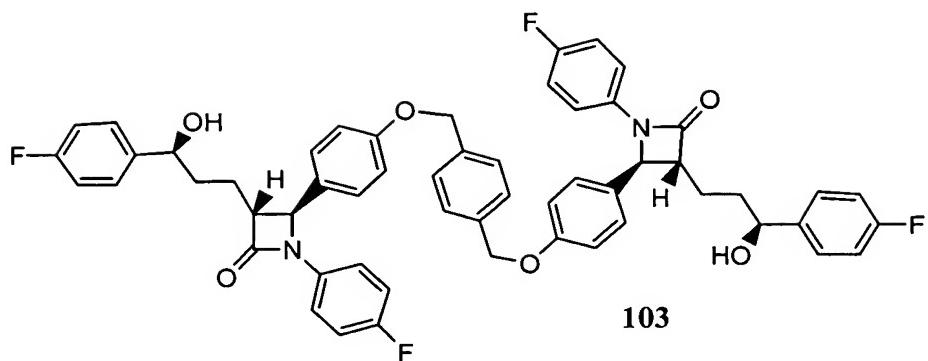
I

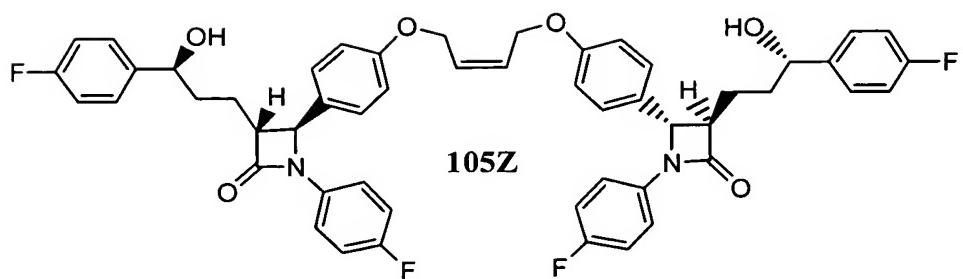


101

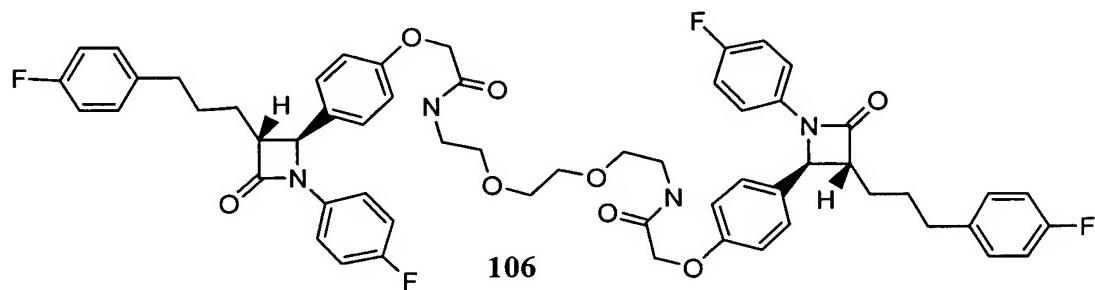


102





and



15. A pharmaceutical formulation comprising a compound according to any of claims 1-14 and a pharmaceutically acceptable carrier.
16. A pharmaceutical formulation according to claim 15 additionally comprising an inhibitor of cholesterol biosynthesis.
17. A method for treating a disorder of lipid metabolism comprising administering to a mammal a therapeutically effective amount of a compound according to any of claims 1-14.
18. A method according to claim 17, wherein said disorder of lipid metabolism is hyperlipidemia.
19. A method according to claim 17, wherein said disorder of lipid metabolism is arteriosclerosis.
20. A method for inhibiting the absorption of cholesterol from the intestine of a

mammal, which comprises administering an effective cholesterol-absorption-inhibiting amount of a compound according to any of claims 1-14 to the mammal.

21. A method for reducing the blood plasma or serum concentrations of LDL cholesterol in a mammal, which comprises administering an effective cholesterol reducing amount of a compound according to any of claims 1-14 to the mammal.
22. A method for reducing the concentrations of cholesterol and cholesterol ester in the blood plasma or serum of a mammal, which comprises administering an effective cholesterol and cholesterol ester reducing amount of a compound according to any of claims 1-14 to the mammal.
23. A method for increasing the fecal excretion of cholesterol in a mammal, which comprises administering an effective cholesterol fecal excretion increasing amount of a compound according to any of claims 1-14 to the mammal.
24. A method for the prophylaxis or treatment of a clinical condition in a mammal, for which a cholesterol uptake inhibitor is indicated, which comprises administering a therapeutically effective amount of a compound according to any of claims 1-14 to the mammal.
25. A method for reducing the incidence of coronary heart disease-related events in a mammal, which comprises administering an effective coronary heart disease-related events reducing amount of a compound according to any of claims 1-14 to the mammal.
26. A method for reducing the concentration of cholesterol in the blood plasma or serum of a mammal, which comprises administering an effective cholesterol reducing amount of a compound according to any of claims 1-14 to the mammal.
27. A method for reducing blood plasma or serum concentrations of C-reactive protein (CRP) in a mammal, which comprises administering a therapeutically effective

amount of a compound according to any of claims 1-14 to the mammal.

28. A method for reducing blood plasma or serum concentrations of triglycerides in a mammal, which comprises administering a therapeutically effective amount of a compound according to any of claims 1-14 to the mammal.

29. A method for increasing blood plasma or serum concentrations of HDL cholesterol of a mammal, which comprises administering a therapeutically effective amount of a compound according to any of claims 1-14 to the mammal.

30. A method for reducing blood plasma or serum concentrations of apolipoprotein B, in a mammal, which comprises administering a therapeutically effective amount of a compound according to any of claims 1-14 to the mammal.